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ABSTRACT

DRUG CARRIERS

An aqueous composition comprises an amphiphilic block copolymer, having a hydrophilic block comprising pendant zwitterionic groups and a hydrophobic block, and a biologically active compound associated with the polymer. The polymer is preferably in the form of micelles, and preferably the biological active is a hydrophobic drug, for instance having a calculated or experimentally determined logP of at least 1.0, where P is the octanol:water partition coefficient. The hydrophilic block is preferably formed from acrylic monomer including phosphorylcholine groups. The hydrophobic group is suitably formed from monomer which has groups which can be ionised at useful pH's, especially tertiary amine groups. Micelles may be formed by dissolving the block copolymer in aqueous solvent at a pH at which the amine groups are protonated then raising the pH to a value at which the amine groups are substantially deprotonated, whereupon micelles spontaneously form. The preformed micelles are then contacted with active, under conditions such that solubilisation of the active occurs. The active may be a waterinsoluble drug, for instance for tumour treatment.

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(57) Abstract: An aqueous composition comprises an amphiphilic block copolymer, having a hydrophilic block comprising pendant zwitterionic groups and a hydrophobic block, and a biologically active compound associated with the polymer. The polymer is preferably in the form of micelles, and preferably the biological active is a hydrophobic drug, for instance having a calculated or experimentally determined logP of at least 1.0, where P is the octanol:water partition coefficient. The hydrophilic block is preferably formed from acrylic monomer including phosphorylcholine groups. The hydrophobic group is suitably formed from monomer which has groups which can be ionised at useful pH's, especially tertiary amine groups. Micelles may be formed by dissolving the block copolymer in aqueous solvent at a pH at which the amine groups are protonated then raising the pH to a value at which the amine is groups are substantially deprotonated, whereupon micelles spontaneously form. The preformed micelles are then contacted with active, under conditions such that solubilisation of the active occurs. The active may be a water-insoluble drug, for instance for tumor treatment.



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